

# Use of new and known sulphonyl guanazine cpds.

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## Abstract

The use of sulphonyl guanazine cpds. of formula (I), and their salts and tautomers, is claimed for the prepn. of pharmaceuticals for treating metabolic disorders. A, D = H, 1-3C alkyl or 1-3C alkoxy; R<sub>1</sub>, R<sub>2</sub> = 6-10C aryl, benzyl or Het (all opt. ring-substd. by upto 5 of halo, OCF<sub>3</sub>, CF<sub>3</sub>, OCHF<sub>2</sub>, phenyl, 1-7C alkoxy, 1-7C alkylthio, 1-7C alkoxycarbonyl, OH, COOH, 1-8C alkyl (opt. substd. by carboxy or 1-5C alkoxycarbonyl), opt. halo-substd. benzoyl, CONR<sub>4</sub>R<sub>5</sub>, NR<sub>6</sub>R<sub>7</sub>, SO<sub>2</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>9</sub>R<sub>10</sub>) or 1-15C alkyl; R<sub>3</sub> = H or SO<sub>2</sub>R<sub>11</sub>; R<sub>4</sub>-R<sub>7</sub> = H, 1-4C acyl, phenyl, or 1-5C alkyl (opt. substd. by phenyl (opt. substd. by CF<sub>3</sub> or halo)); R<sub>8</sub>, R<sub>11</sub> = 1-6C alkyl, benzyl or 6-10C aryl (opt. substd. by up to 5 of OH, phenyl, halo or 1-3C alkyl); R<sub>9</sub>, R<sub>10</sub> = 1-6C alkyl or 1-6C alkoxy; and Het = 5 or 6 membered heterocycle contg. N, O and/or S, opt. benzo-fused. Over 70 cpds. (I) are new. They have formula (I) with the following substituents. (1) A, D = Me; R<sub>3</sub> = H; R<sub>1</sub> = a gp. of formula (g); and R<sub>2</sub> = (2-bromophenyl)methyl; (2) A, D = Me; R<sub>3</sub> = H; R<sub>1</sub> = a gp. of formula (h); and R<sub>2</sub> = 2-bromophenyl or 2-chlorophenyl; (3) A, D = Me; R<sub>3</sub> = H; R<sub>1</sub> = a gp. of formula (a); R<sub>2</sub> = pentafluoro phenyl, 2-substd. phenyl (where the substituents are Br or OCF<sub>3</sub>), 3-chlorophenyl, naphth-2-yl, 4-substd.-phenyl (where the substituents are Me, Br, t-Bu, Cl, phenyl or I), n-propyl, (CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>, 2,4,5-trichlorophenyl, 4-chlorobenzyl, 7-quinolinyl, 2,3-dimethylphenyl, 4-chloro-2-trifluoromethyl-phenyl, 3,4-dimethylphenyl, or a gp. of formula (a1)-(a4); (4) A, D = OMe, R<sub>3</sub> = H, R<sub>1</sub> = a gp. of formula (b); and R<sub>2</sub> = 2-substd. phenyl (where the substituents are OMe, Cl, F, Br, CF<sub>3</sub> or SO<sub>2</sub>NMe<sub>2</sub>); (5) A, D = OMe, R<sub>1</sub> = (a); R<sub>3</sub> = H; R<sub>2</sub> = 2,6-dichlorophenyl; (6) A, D = OMe; and R<sub>1</sub> = (c1), R<sub>2</sub> = 4-trifluoromethoxy phenyl and R<sub>3</sub> = H; or R<sub>1</sub> = (c2), R<sub>2</sub> = trifluoromethoxy phenyl and R<sub>3</sub> = (c3). (7) A = D = Me or OMe, R<sub>1</sub> = a gp. of formula (d); R<sub>3</sub> = H; R<sub>2</sub> = (a); (8) A, D = Me, R<sub>1</sub> = (b), R<sub>3</sub> = H; R<sub>2</sub> = 4-methyl phenyl, 2-substd. phenyl (where the substituents are OCF<sub>3</sub>, CF<sub>3</sub>, F or SO<sub>2</sub>NMe<sub>2</sub> or 2-(methoxycarbonyl)benzyl; (9) A, D = Me, R<sub>1</sub> = 2-fluorophenyl, R<sub>3</sub> = H and R<sub>2</sub> = (a5) or 2-carboxyphenyl; (10) A = OMe; D = Me, R<sub>1</sub> = a gp. of formula (f); R<sub>2</sub> = 4-methylphenyl and R<sub>3</sub> = 4-methylphenylsulphonyl; (11) A, D = Me; R<sub>1</sub> = (f), R<sub>3</sub> = H; and R<sub>2</sub> = 2-substd. phenyl (where the substituents are OCHF<sub>2</sub>, CF<sub>3</sub> or OCH<sub>3</sub>); (12) A, D = OMe; R<sub>1</sub> = gp. of formula (k), R<sub>3</sub> = H; R<sub>2</sub> = 2-chloro, 2-trifluoromethoxyphenyl or 2- or 3-difluoromethoxy phenyl; (13) A, D = Me; R<sub>1</sub> = (a); and R<sub>3</sub> = SO<sub>2</sub>R<sub>2</sub>, where R<sub>2</sub> = n-Pr, 4-biphenyl, 4-chlorobenzyl, 4-iodophenyl, 3- or 4-chlorophenyl, 2,3-dimethylphenyl, (a2), 2- or 4-bromophenyl, pentafluorophenyl, 1- or 2-naphthyl or 4-t-butyl-phenyl; (14) A, D, R<sub>3</sub> = H; R<sub>1</sub> = (a), R<sub>2</sub> = 2-bromophenyl; (15) A = Me; D = OMe; R<sub>1</sub> = a gp. (l); R<sub>2</sub> = 2-chlorophenyl and R<sub>3</sub> = H; (16) A = Me; D = R<sub>3</sub> = H; R<sub>1</sub> = (a); and R<sub>2</sub> = 4-methylphenyl; (17) A = D + Me; R<sub>1</sub> = a gp. (m); R<sub>2</sub> = 4-methylphenyl and R<sub>3</sub> = H.

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